

01/11/2004

10805624

COST IN U.S. DOLLARS

SINCE FILE  
ENTRY

TOTAL  
SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'STNGUIDE' ENTERED AT 15:51:28 ON 01 NOV 2004  
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FILE CONTAINS CURRENT INFORMATION.  
LAST RELOADED: Oct 29, 2004 (20041029/UP).

=> FILE REG

COST IN U.S. DOLLARS

SINCE FILE  
ENTRY

TOTAL  
SESSION

FULL ESTIMATED COST

0.06

0.27

FILE 'REGISTRY' ENTERED AT 15:51:44 ON 01 NOV 2004  
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Property values tagged with IC are from the ZIC/VINITI data file  
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STRUCTURE FILE UPDATES: 31 OCT 2004 HIGHEST RN 773042-36-7  
DICTIONARY FILE UPDATES: 31 OCT 2004 HIGHEST RN 773042-36-7

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

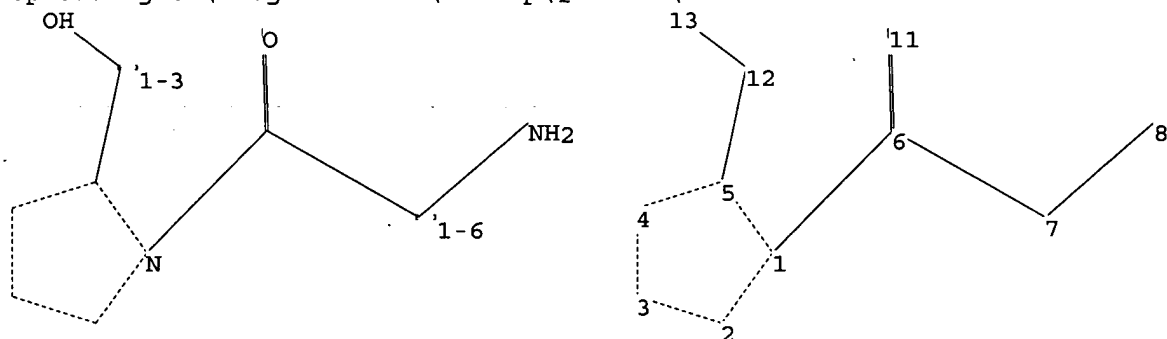
Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10805624.str



chain nodes :

6 7 8 11 12 13

ring nodes :

1 2 3 4 5

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chain bonds :

1-6 5-12 6-7 6-11 7-8 12-13

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 1-6 2-3 3-4 4-5 6-11 7-8 12-13

exact bonds :

5-12 6-7

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 11:CLASS

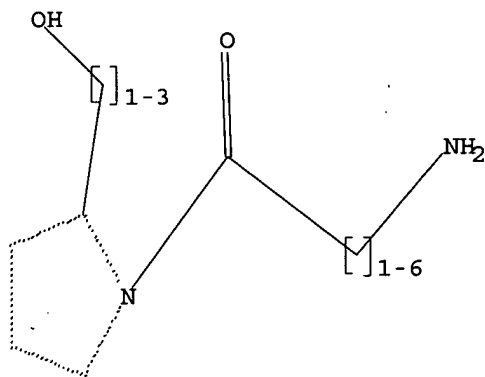
12:CLASS 13:CLASS

L1 STRUCTURE UPLOADED

=> D

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> S L1

SAMPLE SEARCH INITIATED 15:52:19 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 16801 TO ITERATE

6.0% PROCESSED 1000 ITERATIONS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

50 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 328261 TO 343779  
PROJECTED ANSWERS: 77493 TO 85139

L2 50 SEA SSS SAM L1

=> S L1 FULL

FULL SEARCH INITIATED 15:52:34 FILE 'REGISTRY'

01/11/2004

10805624

FULL SCREEN SEARCH COMPLETED - 335935 TO ITERATE

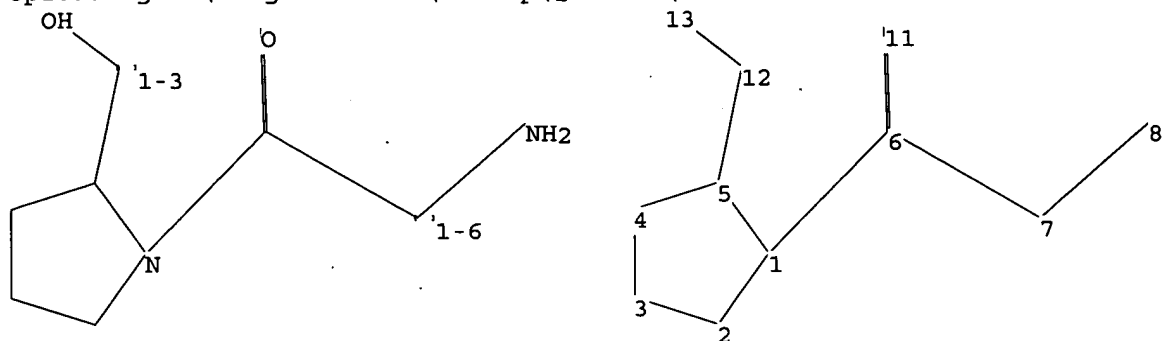
100.0% PROCESSED 335935 ITERATIONS  
SEARCH TIME: 00.00.08

77088 ANSWERS

L3 77088 SEA SSS FUL L1

=>

Uploading C:\Program Files\Stnexp\Queries\108056241.str



chain nodes :

6 7 8 11 12 13

ring nodes :

1 2 3 4 5

chain bonds :

1-6 5-12 6-7 6-11 7-8 12-13

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 1-6 6-11 7-8 12-13

exact bonds :

2-3 3-4 4-5 5-12 6-7

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 11:CLASS

12:CLASS 13:CLASS

L4 STRUCTURE UPLOADED

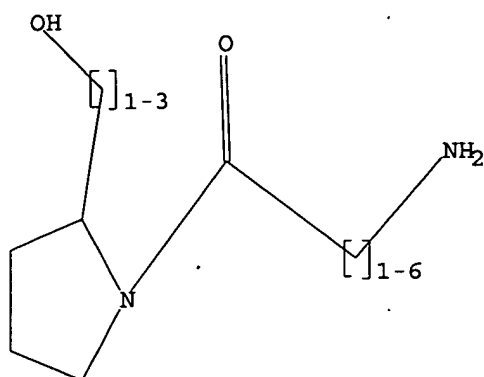
=> D

L4 HAS NO ANSWERS

L4 STR

01/11/2004

10805624



Structure attributes must be viewed using STN Express query preparation.

=> S L4

SAMPLE SEARCH INITIATED 15:54:02 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 16801 TO ITERATE

6.0% PROCESSED 1000 ITERATIONS 50 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 328261 TO 343779  
PROJECTED ANSWERS: 77493 TO 85139

L5 50 SEA SSS SAM L4

=> S L4 FULL

FULL SEARCH INITIATED 15:54:11 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 335935 TO ITERATE

100.0% PROCESSED 335935 ITERATIONS 77003 ANSWERS  
SEARCH TIME: 00.00.12

L6 77003 SEA SSS FUL L4

=> FILE CAPLUS

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	312.10	312.37

FILE 'CAPLUS' ENTERED AT 15:54:36 ON 01 NOV 2004  
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FILE COVERS 1907 - 1 Nov 2004 VOL 141 ISS 19

FILE LAST UPDATED: 31 Oct 2004 (20041031/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> S L6

L7 46651 L6

=> D IBIB ABS HITSTR 46640-46651

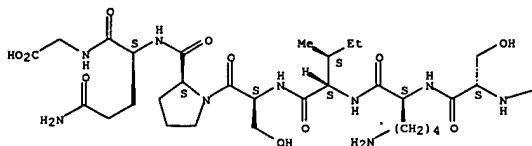
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L7 ANSWER 46640 OF 46651 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1954:62053 CAPLUS  
 DOCUMENT NUMBER: 48:62053  
 ORIGINAL REFERENCE NO.: 48:11000h-1  
 TITLE: The binding capacity of Amulin for ethereal oils  
 AUTHOR(S): Grimme, Cl.  
 CORPORATE SOURCE: Chem. Lab. Dr. Herman Ulex, Hamburg, Germany  
 SOURCE: Zeitschrift fuer Lebensmittel-Untersuchung und  
 -Forschung (1954), 98, 440-2  
 CODEN: ZLUFAR; ISSN: 0044-3026  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 AB cf. C.A. 48, 302c. The efficiency of Amulin for inhibiting loss of  
 essential oil from 10 spices is demonstrated to be greater than that of  
 powder sugar or oat-hull meal.  
 IT 161501-89-9, Amulin  
 (binding capacity for ethereal oils)  
 RN 161501-89-9 CAPLUS  
 CN Glycine,  
 L-alanyl-L-prolyl-L-arginyl-L-seryl-L-lysyl-L-isoleucyl-L-seryl-L-  
 prolyl-L-glutaminy- (9CI) (CA INDEX NAME)

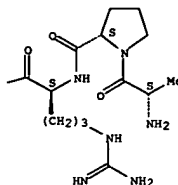
Absolute stereochemistry.

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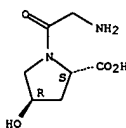
L7 ANSWER 46640 OF 46651 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-B



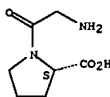
L7 ANSWER 46641 OF 46651 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1954:53115 CAPLUS  
 DOCUMENT NUMBER: 48:53115  
 ORIGINAL REFERENCE NO.: 48:9431g-1,9432a-b  
 TITLE: Specificity of prolidase: effect of alterations in  
 the  
 pyrrolidine ring of glycyl-L-proline  
 AUTHOR(S): Adams, Elijah; Davis, Neil C.; Smith, Emil L.  
 CORPORATE SOURCE: Univ. of Utah, Salt Lake City  
 SOURCE: Journal of Biological Chemistry (1954), 208, 573-8  
 CODEN: JBCHA3; ISSN: 0021-9258  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 AB cf. C.A. 47, 654f. The method of Neuberger (C.A. 39, 4868.9) gave  
 allyhydroxy-L-proline(I),  $[\alpha]_{20D} -58.2^\circ$  (c 2, water). I(11.7  
 g.) in 10 vols. of absolute, EtOH at  $0^\circ$  treated with dry HCl gave 12.2  
 g. Et ester (II)-HCl, m. 148-51°. Carbobenzoylglycyl chloride  
 (III) added to II from 4.0 g. of the HCl salt in cold EtOAc, the mixture  
 shaken 10 min. (ice bath), then with cold. dilute bicarbonate, the EtOAc  
 layer concentrated in vacuo, the ester (6 g.) in Me<sub>2</sub>CO treated  
 portionwise  
 during 20 min. with 17.5 cc. of M NaOH, the product acidified to Congo  
 red  
 and the Me<sub>2</sub>CO removed yielded 1.9 g. carbobenzoylglycylallyhydroxy-L-  
 proline (IV), m. 187-8°. IV (1.35 g.) hydrogenated over Pd black  
 in MeOH containing AcOH yielded 0.8 g. glycylallyhydroxy-L-proline (V),  
 $[\alpha]_{21D} -86.0^\circ$  (c 2.35, water). N-Acetyl-hydroxy-L-proline  
 and N-acetyl-O-methylhydroxy-L-proline Me ester yielded  
 4-methoxy-L-proline (VII),  $[\alpha]_{20D} -56^\circ$  (c 2, water); Et  
 ester-HCl (VII) m. 150-2°. III (3.3 g.) and the ester from 2.6 g.  
 VII yielded 0.4 g. glycyl-4-methoxy-L-proline (VIII),  $[\alpha]_{21D}$   
 $-99.5^\circ$  (c 1, water). The relative rates of hydrolysis of the  
 following substrates by prolidase were determined and the order of  
 susceptibility was found to be: glycyl-L-proline > V >  
 glycylhydroxy-L-proline = glycylsarcosine >> VIII. It is suggested  
 that alteration in the pyrrolidine ring of glycyl-L-proline influences  
 the  
 rate of hydrolysis by prolidase because of a steric effect on the  
 interaction of the substrate with the enzyme rather than an effect on the  
 strength of the peptide bond. The specificity of prolidase requires in  
 the substrate the free amino and carboxyl groups, the imido N of the  
 peptide bond, and a relatively rigidly defined size and shape of the  
 imido  
 N substituents.  
 IT 24587-32-4, Proline, 1-glycyl-4-hydroxy-, L-  
 (prolidase action on)  
 RN 24587-32-4 CAPLUS  
 CN L-Proline, glycyl-4-hydroxy-, (4R)- (9CI) (CA INDEX NAME)  
 Absolute stereochemistry.

L7 ANSWER 46641 OF 46651 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT 704-15-4, Proline, 1-glycyl-, L-  
 (prolidase action on, and derivs.)  
 RN 704-15-4 CAPLUS  
 CN L-Proline, glycyl- (9CI) (CA INDEX NAME)

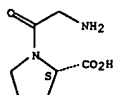
Absolute stereochemistry.



01/11/2004

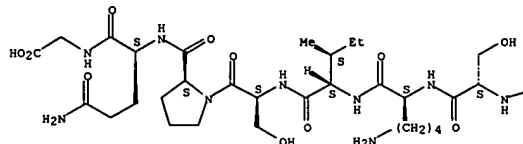
10805624

L7 ANSWER 46642 OF 46651 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1954:3972 CAPLUS  
 DOCUMENT NUMBER: 48:3972  
 ORIGINAL REFERENCE NO.: 48:772b-c  
 TITLE: Peptides isolated from a partial hydrolyzate of steer-hide collagen  
 AUTHOR(S): Kroner, Thomas D.; Tabroff, Wm.; McGarr, John J.  
 CORPORATE SOURCE: United Shoe Machinery Corp., Beverly, MA  
 SOURCE: Journal of the American Chemical Society (1953), 75, 4084-6  
 CODEN: JACSAT; ISSN: 0002-7863  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 AB The partial hydrolyzate obtained by treating the collagen 4 days at 37° with concentrated HCl on treatment with XE-64 and IR-4B yielded leucine, leucylalanine, methionine, leucylalanine, valylglycine, proline, alanine, glycine, alanylglucylalanine, glucylalanine, glucylglycine, threonylglycine, serine, serylglycine, and hydroxyprolylglycine. The amino acids and peptides were isolated as the dinitrophenyl derivs.  
 IT 704-15-4, Proline, 1-glycyl-  
 (from collagen (steer-hide) partial hydrolyzate)  
 RN 704-15-4 CAPLUS  
 CN L-Proline, glycyl- (9CI) (CA INDEX NAME)  
 Absolute stereochemistry.



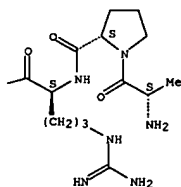
L7 ANSWER 46643 OF 46651 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1954:1650 CAPLUS  
 DOCUMENT NUMBER: 48:1650  
 ORIGINAL REFERENCE NO.: 48:302b-d  
 TITLE: The maintenance of condiment capacity of spices on fine grinding  
 AUTHOR(S): Grimme, Clemens  
 CORPORATE SOURCE: Chem. Lab. Dr. Herman Ulex, Hamburg, Germany  
 SOURCE: Zeitschrift fuer Lebensmittel-Untersuchung und -Forschung (1953), 97, 191-3  
 CODEN: ZLAFAR; ISSN: 0044-3026  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 AB The efficiency of "Amulin" (composition: H2O 9.1, protein 11.5, fat 1.7, carbohydrate 76.6, fiber 0.4, and ash 0.7) at 10 and 20% is compared with control samples for inhibiting loss of essential oils on grinding 17 spices in an elec. mill. The residual essential oil content (original unground = 100%) results were: ground controls 72.2-94.0, ground with 10% "Amulin" 84.1-100, ground with 20% "Amulin" 91.6-100%. The material gives a strong to absolute protection against loss of essential oils.  
 IT 161501-89-9, Amulin  
 (spice grinding with)  
 RN 161501-89-9 CAPLUS  
 CN Glycine,  
 L-alanyl-L-prolyl-L-arginyl-L-seryl-L-lysyl-L-isoleucyl-L-seryl-L-prolyl-L-glutaminy- (9CI) (CA INDEX NAME)  
 Absolute stereochemistry.

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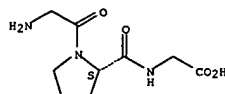


L7 ANSWER 46644 OF 46651 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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L7 ANSWER 46644 OF 46651 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1953:62461 CAPLUS  
 DOCUMENT NUMBER: 47:62461  
 ORIGINAL REFERENCE NO.: 47:10627g-i  
 TITLE: The hydrolysis of proline peptides by a prolineless mutant of Escherichia coli  
 AUTHOR(S): Stone, David  
 CORPORATE SOURCE: Yale Univ.  
 SOURCE: Journal of Biological Chemistry (1953), 202, 821-7  
 CODEN: JBCHA3; ISSN: 0021-9258  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 AB cf. C.A. 47, 8831a. A study was made of the effects of aerobic and anaerobic incubation on the growth response of a prolineless mutant of E. coli. As compared with stationary cultures under partial anaerobiosis, shake cultures show marked increases in the lag period and decreases in the growth rate when glycylprolylglycine (I) supplies the nutritional requirement. Under anaerobic conditions the long lag periods shown in the presence of I and prolylglycine are greatly reduced. The hydrolysis of peptides of proline by saline exts. of the cells of the mutant was studied. In the presence of Mn and a SH compound the exts. hydrolyzed the peptides tested. The significance of this finding is discussed in relation to the growth response of the mutant when the cultures are supplied with peptides of proline.  
 IT 2441-63-6, Glycine, N-(1-glycylprolyl)-  
 (effect on metabolism of Escherichia coli)  
 RN 2441-63-6 CAPLUS  
 CN Glycine, glycyl-L-prolyl- (9CI) (CA INDEX NAME)  
 Absolute stereochemistry.



L7 ANSWER 46645 OF 46651 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1953:54754 CAPLUS  
 DOCUMENT NUMBER: 47:54754  
 ORIGINAL REFERENCE NO.: 47:9263d-1  
 TITLE: Peptidases of erythrocytes. III. Tripeptidase  
 Adams, Elijah; Davis, Neil C.; Smith, Emil L.  
 CORPORATE SOURCE: Univ. of Utah, Salt Lake City  
 SOURCE: Journal of Biological Chemistry (1952), 199, 845-56  
 CODEN: JBCHIA; ISSN: 0021-9258  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable

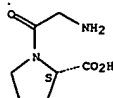
AB cf. C.A. 47, 654d. N-(N-carbobenzoyloxylglycyl)-β-alanine (4.2 g.) moistened with CHCl<sub>3</sub>, cooled to 0°, treated with 2.1 cc. Et<sub>3</sub>N, then with 3 cc. iso-BuO<sub>2</sub>CCl, let stand 10 min. at 0°, added to the ester (in ice-cold CHCl<sub>3</sub>) from 2.3 g. β-alanine Et ester-HCl (I), and the mixture let stand 15 min. at room temperature, heated to boiling, and cooled yielded 3 g. N-[N-(N-carbobenzoyloxylglycyl)-β-alanyl]-β-alanine (II) Et ester, m. 138-9°. 2 g. of the ester in aqueous Me<sub>2</sub>CO treated portionwise during 15 min. with 5.8 cc. M NaOH yielded 1.5 g. II, m. 179-80°. II (1 g.) on hydrogenation gave 0.55 g. N-(N-glycyl-β-alanyl)-β-alanine (III). N-(N-carbobenzoyloxyl-β-alanyl)glycine Et ester (5.8 g.) and 2 cc. 95% H<sub>2</sub>N<sub>2</sub>H<sub>2</sub>O let stand several hrs. yielded 5.5 g. hydrazide (IV), m. 155-6°. The azide from 4.5 g. IV and the ester from 2.3 g. I in EtOAc let stand 48 h. at room temperature yielded 4 g. N-[N-(N-carbobenzoyloxyl-β-alanyl)glycyl]-β-alanine Et ester (V), m. 143-4°. V (3.6 g.) with 10.4 cc. M NaOH 30 min. in Me<sub>2</sub>CO gave 2.8 g. N-[N-(N-carbobenzoyloxyl-β-alanyl)glycyl]-β-alanine, m. 187-8°. 2 g. of which yielded 1.1 g. N-(N-β-alanyl-glycyl)-β-alanine (VII). N-carbobenzoyloxyl-β-alanine (22.1 g.) and the ester from 15.4 g. I let stand overnight in CHCl<sub>3</sub> yielded 14 g. N-(N-carbobenzoyloxyl-β-alanyl)-β-alanine, m. 84-6°; hydrazide (VII) m. 185-7°. The azide from 6.8 g. VII and the ester from 4.2 g. H<sub>2</sub>NCH<sub>2</sub>CO<sub>2</sub>Et·HCl in EtOAc let stand 48 h. at room temperature yielded 3.3 g. N-[N-(N-carbobenzoyloxyl-β-alanyl)-β-alanyl]glycine Et ester (VIII), m. 148-9°. VIII (3.6 g.) gave 2.9 g. acid, m. 196-8°. 2 g. of which yielded 1.2 g. N-(N-β-alanyl-β-alanyl)glycine (IX). The azide from 6.8 g. VII and the ester from 4.6 g. I let stand 48 h. in EtOAc yielded 4.6 g. N-[N-(N-carbobenzoyloxyl-β-alanyl)-β-alanyl]alanine Et ester (X), m. 163-4°. X (4.8 g.) yielded 4 g. N-[N-(N-carbobenzoyloxyl-β-alanyl)-β-alanyl]-β-alanine, m. 194-5°. N-(N-β-Alanyl-β-alanyl)-β-alanine (XI). Tripeptidase (XII) was purified 500-750-fold from hemolyzed horse erythrocytes. XII hydrolyzes triglycine optimally at pH 7.9, is not activated by added metal ions, and is strongly inhibited both by Cd and cysteine. Unlike XII from calf thymus, all substrates were hydrolyzed by the erythrocyte XII according to 1st-order kinetics. N-(N-L-Prolylglycyl)glycine is the most sensitive substrate for XII; tripeptides in which L-proline or hydroxy-L-proline are terminal are also hydrolyzed. N-(L-Glycyl-L-prolyl)glycine is completely resistant to hydrolysis; substrates for XII may possess a free imino group but require a peptide H at the susceptible linkage. Erythrocyte XII hydrolyzes N-(N-glycylglycyl)-β-alanine, N-(N-glycyl-β-alanyl)glycine, and also (more slowly)

L7 ANSWER 46646 OF 46651 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1953:54753 CAPLUS  
 DOCUMENT NUMBER: 47:54753  
 ORIGINAL REFERENCE NO.: 47:9262g-1, 9263a-d  
 TITLE: Partial purification and specificity of iminodipeptidase  
 AUTHOR(S): Davis, Neil C.; Smith, Emil L.  
 CORPORATE SOURCE: Univ. of Utah, Salt Lake City  
 SOURCE: Journal of Biological Chemistry (1953), 200, 373-84  
 CODEN: JBCHIA; ISSN: 0021-9258  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable

AB cf. preceding abstract, C.A. 47, 654f. The azide from 5 g. carbobenzoyloxylhydroxy-L-proline in EtOAc added at 0° to the ester from 3.6 g. N-glycylglycine Et ester-HCl (I) in EtOAc at 0° and the mixture let stand overnight at room temperature yielded 70% N-[N-(N-carbobenzoyloxylhydroxy-L-prolyl)glycyl]glycine Et ester (II), m. 144-5°. Carbobenzoyloxylhydroxy-L-proline (5.3 g.) in 10 cc. cold CHCl<sub>3</sub> and 2.8 cc. Et<sub>3</sub>N cooled to -5°, the mixture treated dropwise with 3.8 cc. iso-BuO<sub>2</sub>CCl, let stand 30 min., the free ester from 4 g. I with 3.8 cc. iso-BuO<sub>2</sub>CCl, let stand 30 min., the free ester from 4 g. I in CHCl<sub>3</sub> added, the mixture let stand overnight, concentrated in vacuo, and the residue extracted with hot EtOAc yielded 38% II, m. 144-5°, [α]<sub>D</sub><sup>21</sup> -11.1° (c 1, EtOH). II (3 g.) in 20 cc. water treated during 20 min. with four 2-cc. portions of N HCl, and the mixture let stand 10 min., acidified to Congo red with 6N HCl, and concentrated to dryness in vacuo yielded 2.5 g. N-[N-(N-carbobenzoyloxylhydroxy-L-prolyl)glycyl]glycine (III), m. 159.5-60°, [α]<sub>D</sub><sup>21</sup> -53.9° (c 1, water). III (2.5 g.) on reduction yielded 1.60 g. N-(N-(hydroxy-L-prolyl)glycyl)glycine (IV), m. 216-17° (decomposition), [α]<sub>D</sub><sup>21</sup> -13.2° (c 1, water). 1-Carbobenzoyloxyl-L-proline (3.8 g.) and 2.2 cc. Et<sub>3</sub>N treated dropwise with 2 cc. iso-BuO<sub>2</sub>CCl, then after 30 min. with the ester from 3 g. I yielded 3.7 g. N-[N-(1-carbobenzoyloxyl-L-prolyl)glycyl]glycine Et ester (V), m. 120-20.5°, [α]<sub>D</sub><sup>21</sup> -23.1° (c 1, EtOH). V (3.91 g.) kept 1 hr. at room temperature with 11 cc. N NaOH in Me<sub>2</sub>CO-water yielded 2.1 g. N-[N-(1-carbobenzoyloxyl-L-prolyl)glycyl]glycine (VI), m. 134-5°, [α]<sub>D</sub><sup>21</sup> -56° (c 1, water). VI (2 g.) on reduction yielded 1.1 g. N-(N-L-prolylglycyl)glycine (VII), m. 211-12° (decomposition). N-[N-(1-Carbobenzoyloxylglycyl)-L-prolyl]glycine (6.15 g.), 2.8 cc. Et<sub>3</sub>N, 2.62 cc. iso-BuO<sub>2</sub>CCl, and the ester from 3:07 g. I yielded 64% gum, which with 14 cc. N NaOH in aqueous Me<sub>2</sub>CO 30 min. at room temperature yielded 2 g. N-[N-(1-carbobenzoyloxylglycyl)-L-prolyl]glycine (VIII), m. 144-5°, [α]<sub>D</sub><sup>21</sup> -80.9° (c 1, water). VIII (1.75 g.) on reduction yielded 1 g. N-(N-glycyl-L-prolyl)glycine (IX), [α]<sub>D</sub><sup>21</sup> -108.4° (c 1, water). N-(1-Carbobenzoyloxyl-L-prolyl)-L-proline (3 g.) hydrogenated 6 hrs. in 5 cc. AcOH and 50 cc. absolute EtOH yielded 1 g. N-L-prolyl-L-proline (X), [α]<sub>D</sub><sup>21</sup> -160.2° (c 1, water). N-L-prolylhydroxy-L-proline (XI) (59% yield) [α]<sub>D</sub><sup>21</sup> -160.3° (c 1, water). Iminodipeptidase from swine kidney cortex was purified "30-fold." The hydrolysis of N-L-prolylglycine and N-(hydroxy-L-prolyl)glycine by Mn-activated iminodipeptidase increases with increasing pH up to pH 9, at which point instability of the enzyme precludes accurate measurements. The purified enzyme acts only on prolyl or hydroxyprolyl

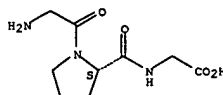
L7 ANSWER 46645 OF 46651 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 N-(N-glycyl-β-alanyl)-β-alanine. Failure to hydrolyze tripeptides with a free β-NH<sub>2</sub> group was confirmed for N-(N-β-alanylglycyl)glycine, and for VI, IX, and XI. Certain types of cellophane dialysis membranes rapidly inactivate XII.  
 IT 704-15-4, Proline, 1-glycyl-, L- 2441-63-6, Glycine, N-(1-glycyl-L-prolyl)-  
 (tripeptidase effect on hydrolysis of)  
 RN 704-15-4 CAPLUS  
 CN L-Proline, glycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

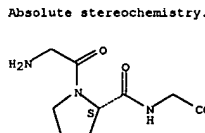


RN 2441-63-6 CAPLUS  
 CN Glycine, glycyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



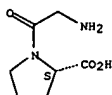
L7 ANSWER 46646 OF 46651 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 dipeptides which possess both a free α-amino and a free α-carboxyl group adjacent to the sensitive bond. Iminodipeptides contg. glutamic or aspartic acid are not attacked by the enzymes. Crude exts. of swine kidney cortex contain metal-activated enzymes which hydrolyze the amides of L-proline and hydroxy-L-proline, and certain tripeptides contg. these imino acids.  
 IT 2441-63-6, Glycine, N-(1-glycyl-L-prolyl)-  
 (preparation of)  
 RN 2441-63-6 CAPLUS  
 CN Glycine, glycyl-L-prolyl- (9CI) (CA INDEX NAME)



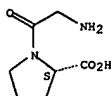
Absolute stereochemistry.



L7 ANSWER 46647 OF 46651 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1949:50972 CAPLUS  
 DOCUMENT NUMBER: 43:50972  
 ORIGINAL REFERENCE NO.: 43:9148c-f  
 TITLE: Utilization of amino acids and peptides by mutant strains of *Escherichia coli*  
 AUTHOR(S): Simmonds, Sofia; Fruton, Joseph S.  
 SOURCE: Journal of Biological Chemistry (1949), 180, 635-46  
 CODEN: JBCHA3; ISSN: 0021-9258  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 AB cf. C.A. 41, 5575d. Growth curves are presented for a phenylalanine-less (I), a proline-less (II), and a leucine-less (III) strain of *Escherichia coli* and were obtained by measuring the extent of bacterial growth as a function of time at varying concns. of the appropriate amino acid and related peptides. I gave the same growth response to equimolar concns. of L-phenylalanine and glycyl-L-phenylalanine. II grew approx. twice as well in the presence of glycyl-L-proline as with L-proline, when the growth was limited to the amount of proline (free amino acid or dipeptide) in the medium. III required a longer period for the initiation of rapid growth in the presence of glycyl-L-leucine than with L-leucine. The duration of this lag-phase increased with the increased concentration of the dipeptide. Equimolar concns. of L-leucine and glycyl-L-leucine produced the same amount of bacterial growth. The response of III to the L-leucine and the dipeptide was independent of the composition of the medium in which the inoculum was grown. III grew slowly in the presence of L-leucinamide acetate, except when high concns. of the compound were present.  
 IT 704-15-4, Proline, 1-glycyl- (utilization by prolineless strain of *Escherichia coli*)  
 RN 704-15-4 CAPLUS  
 CN L-Proline, glycyl- (9CI) (CA INDEX NAME)  
 Absolute stereochemistry.

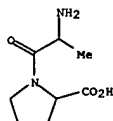


L7 ANSWER 46648 OF 46651 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 carbobenzoxy-β-alanylglycylglycine, m. 184-5°;  
 β-alanylglycylglycine, m. 228° (decompn.);  
 carbobenzoxyglycyl-β-alanylglycine, needles, m. 177-180°;  
 glycyl-β-alanylglycine, m. 230° (decompn.);  
 carbobenzoxydiglycyl-β-alanine, m. 187-189°;  
 carbobenzoxydiglycyl-β-alanine ethyl ester, m. 142°;  
 diglycyl-β-alanine, m. 260° (decompn.); carbobenzoxy-β-alanylglycine ethyl ester, m. 95-96°; carbobenzoxy-β-alanylglycinamide, m. 176° β-alanylglycinamide acetate, m. 118-120°; carbobenzoxyglycyl-β-alanine ethyl ester, needles, m. 63-64°; carbobenzoxyglycyl-β-alaninamide, m. 179°; carbobenzoxy-β-alaninamide, plates, m. 164°; β-alaninamide acetate, prisms, m. 118°; L-alaninamide-HCl, needles, m. 196-199°; benzoyl-L-alaninamide, prisms, m. 235-240°.  
 IT 704-15-4, Proline, 1-glycyl-, L- (hydrolysis of, by prolidase)  
 RN 704-15-4 CAPLUS  
 CN L-Proline, glycyl- (9CI) (CA INDEX NAME)  
 Absolute stereochemistry.



L7 ANSWER 46648 OF 46651 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1949:6583 CAPLUS  
 DOCUMENT NUMBER: 43:6583  
 ORIGINAL REFERENCE NO.: 43:1448a-1  
 TITLE: Application of peptides containing β-alanine to the study of the specificity of various peptidases  
 AUTHOR(S): Hanson, H. Theo.; Smith, Emil L.  
 SOURCE: Journal of Biological Chemistry (1948), 175, 833-48  
 CODEN: JBCHA3; ISSN: 0021-9258  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 AB The ability of certain peptidases to hydrolyze β-alanine peptides is investigated. β-Alanine has not been found in proteins and the function is unknown of the β-alanine peptides found in carnosine, e.g., which is the second most abundant nitrogenous extractive of muscle. Carboxypeptidase prepared by Anson's method (C.A. 31, 7907.1) hydrolyzes carbobenzoxyglycyl-L-phenylalanine about 800 times as fast as carbobenzoxy-β-alanyl-DL-phenylalanine and it splits carbobenzoxyglycyl-L-leucine about 1600 times as fast as the carbobenzoxy-β-alanyl-L-leucine. It is evident that the intercalation of an addnl. CH2 group reduces the sensitivity of the compound by at least 1000 times as compared to the corresponding L-alanine compound. Highly purified leucine amino peptidase from hog intestinal mucosa (C.A. 38, 4965.7) hydrolyzes L-leucyl-β-alanine as rapidly as L-leucinamide and almost as rapidly as L-leucylglycine. This suggests that its specificity is essentially that of an amidase and that it is capable of hydrolyzing many types of substituted amides as well as peptides. Partially purified prolidase from hog intestinal mucosa hydrolyzes glycyl-L-proline about 330 times as fast as β-alanyl-L-proline. The great reduction in the rate of hydrolysis by the insertion of a CH2 group between the free amino group and the sensitive peptide bond indicates, that this distance is quite critical. Glycyl-L-leucine dipeptidase from human uterus (Smith, Federation Proc. 7, 189(1948)) hydrolyzes glycyl-L-leucine about 250 times as fast as β-alanyl-L-leucine. The presence of the β-alanine peptide inhibits the hydrolysis of glycyl-L-leucine about 35%. An extract rich in glycylglycine dipeptidase does not split β-alanylglycine or β-alanyl-β-alanine. A fresh extract of a tripeptidase from rat muscle hydrolyzes very rapidly triglycine and acts upon glycyl-β-alanylglycine as well as on diglycyl-β-alanine but hydrolyzes β-alanylglycylglycine very slowly. The following compds. and peptides were synthesized by well-known procedures: carbobenzoxyglycyl-β-alanine, needles, m. 140°; chloroacetyl-β-alanine, plates, m. 95°; glycyl-β-alanine, plates, m. 228° (decomposition); carbobenzoxy-β-alanyl-β-alanine, needles, m. 144-5°; β-alanyl-β-alanine, needles, m. 212°; carbobenzoxy-β-alanylglycine, needles, m. 146-9°; β-alanylglycine, prisms, m. 226°; carbobenzoxy-β-alanyl-L-leucine, tiny plates, m. 111°; β-alanyl-L-leucine, needles, m. 245°; L-leucyl-β-alanine, m. 214°; carbobenzoxy-β-alanyl-L-proline, needles, m. 91-93°; β-alanyl-L-proline, prisms, m. 211°; carbobenzoxy-β-alanyl-DL-phenylalanine ethyl ester, m. 88-9°; carbobenzoxy-β-alanyl-DL-phenylalanine, needles, m. 142°.

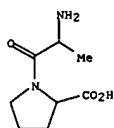
L7 ANSWER 46649 OF 46651 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1935:28454 CAPLUS  
 DOCUMENT NUMBER: 29:28454  
 ORIGINAL REFERENCE NO.: 29:3701a-1  
 TITLE: The titration constants of some amides and dipeptides in relation to alcohol and formaldehyde titrations of amino nitrogen  
 AUTHOR(S): Melville, James; Richardson, George H.  
 SOURCE: Biochemical Journal (1935), 29, 187-95  
 CODEN: BIJOAK; ISSN: 0264-6021  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 AB cf. C. A. 28, 5007.3. Titration consts. at 25° were determined for d-glutamine, d-isoglutamine, L-isosparagine, d-glutamylglycine, d-glutamyl-d-glutamic acid and d-alanyl-L-proline and redetd. for d-tyrosyl-d-arginine and glycyl-L-proline. The factors influencing the magnitude of the respective pK' values are discussed. α-Amides and α-peptides possess characteristically different consts. from their β- or γ-analogs. In certain peptides the pK'NH2 values are usually low and the influence of this fact on the estimation of biol. amino N and on the study of the peptidase action is discussed. These low values of peptides from protein scission will not affect the titrimetric estimation of the extent of hydrolysis, but will require a careful selection of the proper buffers for peptidase studies to allow a more nearly constant pH during hydrolysis. The advantages of using isoglutamine as a buffer in such cases are given and the composition and stability data of buffer solns. containing it are included.  
 IT 3918-95-4, Proline, 1-alanyl- (titration consts. of)  
 RN 3918-95-4 CAPLUS  
 CN Proline, 1-DL-alanyl-, DL- (8CI) (CA INDEX NAME)



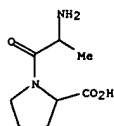
L7 ANSWER 46650 OF 46651 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1933:695 CAPLUS  
 DOCUMENT NUMBER: 27:695  
 ORIGINAL REFERENCE NO.: 27:108c-f  
 TITLE: Proteolytic enzymes, behavior of proline peptides  
 AUTHOR(S): Bergmann, Max; Zervas, Leonidas; Schleich, Hans; Leinert, Fritz  
 SOURCE: Z. physiol. Chem. (1932), 212, 72-84  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 AB Proline peptides differ from all other peptides in that no H is present in

the peptide linkage. Two examples were synthesized for the purpose of testing their behavior toward enzymes. The recently described method (C. A. 26, 5072) in which PhCH<sub>2</sub>O<sub>2</sub>CNHCHRCOCl is coupled with an amino acid and the product hydrogenated is especially applicable here where the usual method of peptide synthesis fails. 1-Proline + PhCH<sub>2</sub>O<sub>2</sub>CNHCH<sub>2</sub>COCl → N-carbobenzoxyglycyl-L-proline, m. 156°, + Pd-H<sub>2</sub> → glycyl-L-proline (I), m. 185°, (α)<sub>D</sub><sup>20</sup> -113.8°, yield 80%. 1-Proline + PhCH<sub>2</sub>O<sub>2</sub>CNHCHMeCOCl → N-carbobenzoxy-D-alanyl-L-proline (not crystallized), → D-alanyl-L-proline (II), m. 178°, (α)<sub>D</sub><sup>23</sup> -114.4°. Similarly, sarcosine + carbobenzoxyglycylsarcosine, m. 102°, → glycylsarcosine (III), m. 220°. I and II are hydrolyzed by extract of intestinal mucosa and by fresh yeast autolyzate, but not by pancreatin. III is attacked by the aminopolypeptidase fraction of erepsin, but not by proteinase or dipeptidase. The active enzyme is probably not identical with Grassmann's prolinase which splits peptides of the polyglycine type. It is either an aminopolypeptidase or a new enzyme. III is also resistant to dipeptidase. The presence of H in the peptide linkage is essential for the activity of dipeptidase. The cleavage of I and II is the first instance of a proteolytic liberation of carboxyl without simultaneous formation of N determinable by the Van Slyke method. This discrepancy may be expected in all proteins which contain considerable proline or hydroxyproline in N-peptide linkage.

IT 3918-95-4, Proline, 1-alanyl-  
 (preparation of)  
 RN 3918-95-4 CAPLUS  
 CN Proline, 1-DL-alanyl-, DL- (8CI) (CA INDEX NAME)

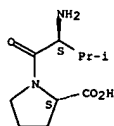


L7 ANSWER 46651 OF 46651 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 residue evidently consisted of a mixt. of amide and anhydride. These dipeptides and some of the amides and haloacylprolines were tested for enzymic hydrolysis. Trypsin-kinase attacked none of the dipeptides, and erepsin only glycylproline to a slight extent. Bromoisocaproyl-L-proline was hydrolyzed by trypsin-kinase, while bromopropionyl-L-proline remained unaltered. Neither enzyme attacked hydroxycaproyl-L-prolinamide.  
 IT 3918-95-4, Proline, 1-alanyl-  
 (and derivs.)  
 RN 3918-95-4 CAPLUS  
 CN Proline, 1-DL-alanyl-, DL- (8CI) (CA INDEX NAME)



IT 20488-27-1, Proline, 1-valyl-  
 (preparation of)  
 RN 20488-27-1 CAPLUS  
 CN L-Proline, L-valyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 46651 OF 46651 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1931:688 CAPLUS  
 DOCUMENT NUMBER: 25:688  
 ORIGINAL REFERENCE NO.: 25:77d-1  
 TITLE: The behavior of polypeptides containing proline  
 toward

erepsin and the trypsin-kinase complex  
 Abderhalden, Emil; Zumstein, Otto  
 Fermentforschung (1930), 12, 1-19  
 CODEN: FEFOAG; ISSN: 0367-2034

DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 AB A series of dipeptides was prepared in which proline carries the terminal CO<sub>2</sub>H. The method consisted in coupling a haloacyl halide with L-proline and amination of the resulting haloacylproline with NH<sub>4</sub>OH. A complication encountered was the formation of hydroxyacylprolinamide which had to be separated from the dipeptide, and also in some cases a racemization of the

proline. The amount of amide obtained increased with the size of the haloacyl halides used, e. g., 5-7% with ClCH<sub>2</sub>COCl, 13% with MeCHBrCOBr, 28-30% with EtCHBrCOBr, and 70-80% with Me<sub>2</sub>CHCH<sub>2</sub>CHBrCOBr. In contrast to other Me<sub>2</sub>CHCH<sub>2</sub>BrCO amino acids, the proline derivative was aminated with great ease, 71% of the Br being replaced in 2 days. When proline Me ester was condensed with haloacyl halide and the product aminated by alic. NH<sub>3</sub> both the expected anhydride and also the dipeptide ester were obtained. 1-Proline in N NaOH was condensed with ClCH<sub>2</sub>COCl to form chloroacetyl-L-proline, m. 112-3°, which on amination with 25% NH<sub>4</sub>OH yielded glycyl-L-prolinamide, m. 90°, and glycyl-L-proline, [α]<sub>D</sub><sup>18</sup> -86.21°. By the same procedure, proline + MeCHBrCOBr → dl-α-bromopropionyl-L-proline (I), m. 137-8°, → dl-α-hydroxypropionyl-L-prolinamide, m. 109-10°, dl-alanyl-L-proline, m. 280° and dl-alanyl-L-proline, [α]<sub>D</sub><sup>18</sup> -92.68°. The Me ester of I + NH<sub>3</sub> in MeOH → dl-alanyl-L-proline Me ester, m. 89-93°, and dl-alanyl-L-proline anhydride, m. 114-5°, the anhydride and dipeptide ester occurring in the proportion 1:2. Proline + dl-EtCHBrCOBr → dl-α-bromobutyryl-L-proline, m. 120-3°, → dl-α-hydroxybutyryl-L-prolinamide, m. 76-8°, dl-α-aminobutyryl-L-proline, [α]<sub>D</sub><sup>18</sup> -45.4°, and dl-α-aminobutyryl-L-prolinamide, m. above 300°. Proline + dl-PrCHBrCOBr → dl-α-bromovaleryl-L-proline, m. 85-7°, → dl-α-hydroxy-valeryl-L-prolinamide, m. 60°, dl-norvalyl-L-proline, m. 258-9°, and dl-norvalyl-L-proline, [α]<sub>D</sub><sup>18</sup> -56.25°. Proline + dl-Me<sub>2</sub>CHCH<sub>2</sub>CHBrCOBr → dl-α-bromoisovaleryl-L-proline (not obtained crystalline) → dl-α-hydroxyisovaleryl-L-prolinamide, dl-valyl-L-proline, m. 275°, and dl-valyl-L-proline, [α]<sub>D</sub><sup>18</sup> -36.66°. Proline + BuCHBrCOBr → dl-α-bromocaproyl-L-proline, m. 69-70°, → dl-α-hydroxycaproyl-L-prolinamide (not purified), and dl-norleucyl-L-proline, m. 225-6°. Proline + Me<sub>2</sub>CHCH<sub>2</sub>CHBrCOBr → dl-α-bromoisocaproyl-L-proline (II) (previously described) → dl-α-hydroxyisocaproyl-L-prolinamide, m. 124°, and dl-leucyl-L-proline, m. 211-2°. The Me ester of II treated with NH<sub>3</sub> in MeOH gave dl-leucyl-L-proline Me ester in 85% yield; an oily

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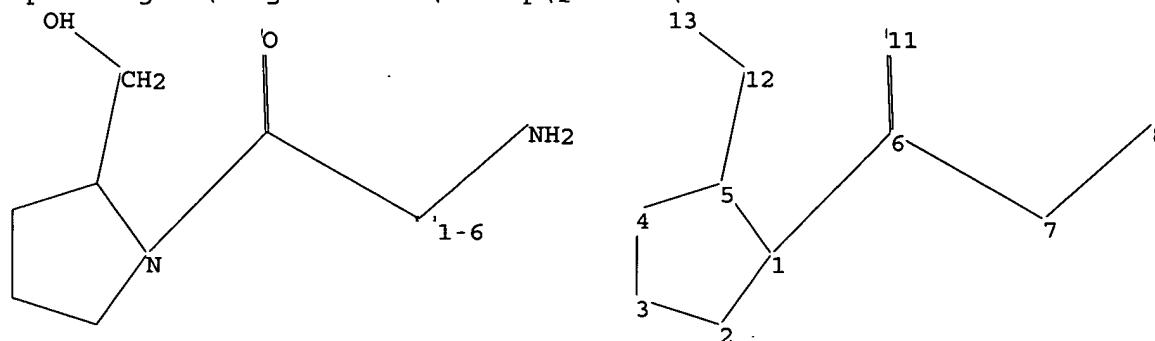
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ring nodes :

1 2 3 4 5

chain bonds :

1-6 5-12 6-7 6-11 7-8 12-13

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

01/11/2004

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1-2 1-5 1-6 6-11 7-8  
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containing 1 :

Match level :

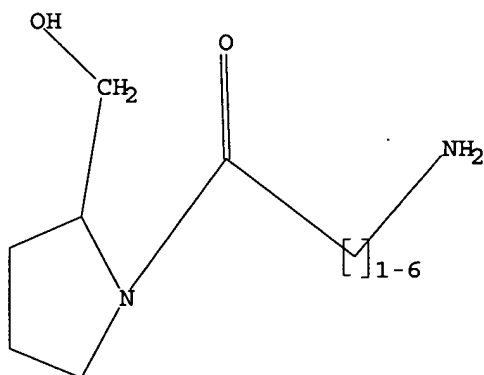
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FULL SCREEN SEARCH COMPLETED - 341671 TO ITERATE

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20 ANSWERS

SEARCH TIME: 00.00.04

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TOTAL

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SESSION

FULL ESTIMATED COST

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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TOTAL

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FILE COVERS 1907 - 1 Nov 2004 VOL 141 ISS 19  
FILE LAST UPDATED: 31 Oct 2004 (20041031/ED)

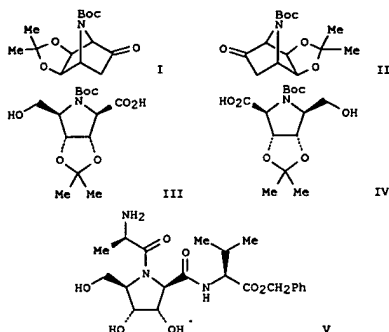
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> S L9

L10 16 L9

=> D IBIB ABS HITSTR TOT

L10 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN  
 ACCESSION NUMBER: 2004:331666 CAPLUS  
 DOCUMENT NUMBER: 138:331666  
 TITLE: Synthesis of D- and L-2,3-trans-3,4-cis-4,5-trans-3,4-dihydroxy-5-hydroxymethylproline and Tripeptides Containing Them  
 AUTHOR(S): Moreno-Vargas, Antonio J.; Robina, Inmaculada; Petricci, Elena; Vogel, Pierre  
 CORPORATE SOURCE: Laboratoire de Glycochimie et de Synthèse Asymétrique,  
 Swiss Federal Institute of Technology (EPFL), Lausanne-Dorigny, CH-1015, Switz.  
 SOURCE: Journal of Organic Chemistry (2004), 69(13), 4487-4491  
 CODEN: JOCEAH; ISSN: 0022-3263  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI

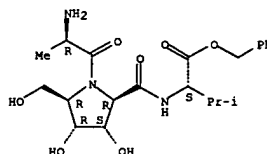


AB Enantiomerically pure (-) and (+)-7-(tert-butoxycarbonyl)-5,6-exo-isopropylidenedioxy-7-azabicyclo[2.2.1]heptan-2-ones, I and II, resp., were prepared. I and II were converted into D- and L-2,3-trans-3,4-cis-4,5-trans-3,4-dihydroxy-5-hydroxymethyl-3,4-trans-N-(tert-butoxycarbonyl)-5-hydroxymethyl-3,4-isopropylidenedioxyprolines, III and IV, resp. Applying the Boc and Fmoc

L10 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)  
 strategies of peptide synthesis, these compds. were used to construct two tripeptides. For example, III was incorporated into peptide synthesis to give tripeptide V.

IT 726192-28-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (asym. preparation of (dihydroxy)hydroxymethylproline and its incorporation into tripeptides)  
 RN 726192-28-5 CAPLUS  
 CN L-Valine, D-alanyl-(3S,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-D-prolyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L10 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN  
 ACCESSION NUMBER: 2003:331666 CAPLUS  
 DOCUMENT NUMBER: 138:331666  
 TITLE: Method for re-sensitizing vancomycin resistant bacteria using agents which selectively cleave a cell wall depsipeptide  
 INVENTOR(S): Chiosia, Gabriela; Boneca, Ivo G.; Still, W. Clark  
 PATENT ASSIGNEE(S): The Trustees of Columbia University in the City of New York, USA  
 SOURCE: PCT Int. Appl., 105 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

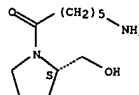
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003035098	A1	20030501	WO 2002-US26975	20020823
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003125372	A1	20030703	US 2001-938746	20010823
US 6734165	B2	20040511		
EP 1427435	A1	20040616	EP 2002-768692	20020823
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2004180814	A1	20040916	US 2004-805624	20040318
PRIORITY APPL. INFO.:			US 2001-938746	A 20010823
			WO 2002-US26975	W 20020823

OTHER SOURCE(S): MARPAT 138:331666  
 AB The present invention relates a method for re-sensitizing vancomycin resistant Gram-pos. bacteria in which resistance results from the conversion of an amide bond to an ester bond in the cell wall peptide precursors of the bacteria which comprises using an antibacterial amount of vancomycin or a homolog of vancomycin and an amount of an agent effective to selectively cleave the ester bond to thereby re-sensitize vancomycin resistant bacteria.

IT 376643-17-3P 376643-20-8P 376643-21-9P  
 376643-22-0P 376643-23-1P 376643-24-2P  
 RL: PRC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (re-sensitizing vancomycin resistant Gram-pos. bacteria using agents which selectively cleave ester bond of D-Ala-D-Lac cell wall depsipeptide)  
 RN 376643-17-3 CAPLUS  
 CN 2-Pyrrolidinemethanol, 1-(6-amino-1-oxohexyl)-, (2S)- (9CI) (CA INDEX

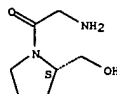
L10 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)  
 NAME)

Absolute stereochemistry.



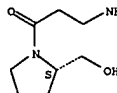
RN 376643-20-8 CAPLUS  
 CN 2-Pyrrolidinemethanol, 1-(6-amino-1-oxohexyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



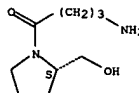
RN 376643-21-9 CAPLUS  
 CN 2-Pyrrolidinemethanol, 1-(3-amino-1-oxopropyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 376643-22-0 CAPLUS  
 CN 2-Pyrrolidinemethanol, 1-(4-amino-1-oxobutyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 376643-23-1 CAPLUS  
 CN 2-Pyrrolidinemethanol, 1-(5-amino-1-oxopentyl)-, (2S)- (9CI) (CA INDEX

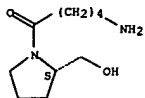
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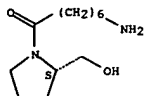
L10 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



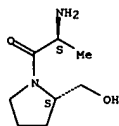
RN 376643-24-2 CAPLUS  
CN 2-Pyrrolidinemethanol, 1-((7S)-7-amino-1-oxoheptyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 518012-31-2  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(re-sensitizing vancomycin resistant Gram-pos. bacteria using agents which selectively cleave ester bond of D-Ala-D-Lac cell wall depsipeptide)  
RN 518012-31-2 CAPLUS  
CN 2-Pyrrolidinemethanol, 1-((2S)-2-amino-1-oxopropyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L10 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:643886 CAPLUS  
DOCUMENT NUMBER: 136:2743  
TITLE: Selective cleavage of D-Ala-D-Lac by small molecules: re-sensitizing resistant bacteria to vancomycin  
AUTHOR(S): Chiosia, Gabriela; Boneca, Ivo G.  
CORPORATE SOURCE: Department of Chemistry, Columbia University, New York, NY, 10027, USA  
SOURCE: Science (Washington, DC, United States) (2001), 293(5534), 1484-1487  
CODEN: SCIEAS; ISSN: 0036-8075  
PUBLISHER: American Association for the Advancement of Science  
DOCUMENT TYPE: Journal  
LANGUAGE: English

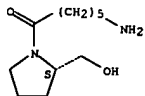
AB Pathogenic enterococci are becoming resistant to currently available antibiotics, including vancomycin, the drug of last resort for Gram-pos. infections. Enterococci pose a significant public health threat, not least because of the risk of transferring vancomycin resistance to the ubiquitous *Staphylococcus aureus*. Vancomycin resistance is manifested by cell wall peptidoglycan precursors with altered termini that cannot bind the antibiotic. Small mols. with well-oriented nucleophile-electrophile assembly and complementary chirality to the peptidoglycan termini were identified as catalytic and selective cleavers of the peptidoglycan precursor depsipeptide. These mols. were tested in combination with vancomycin and were found to re-sensitize vancomycin-resistant bacteria to the antibiotic.

IT 376643-17-3 376643-19-5 376643-20-8  
376643-21-9 376643-22-0 376643-23-1  
376643-24-2

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(selective cleavage of D-Ala-D-Lac by small mols.: re-sensitizing resistant bacteria to vancomycin)

RN 376643-17-3 CAPLUS  
CN 2-Pyrrolidinemethanol, 1-((6S)-6-amino-1-oxohexyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 376643-19-5 CAPLUS  
CN 2-Pyrrolidinemethanol, 1-((2R)-2-amino-1-oxopropyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



RN 376643-20-8 CAPLUS  
CN 2-Pyrrolidinemethanol, 1-((3S)-3-amino-1-oxopropyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 376643-21-9 CAPLUS  
CN 2-Pyrrolidinemethanol, 1-((3S)-3-amino-1-oxopropyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



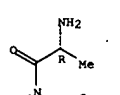
RN 376643-22-0 CAPLUS  
CN 2-Pyrrolidinemethanol, 1-((4S)-4-amino-1-oxobutyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



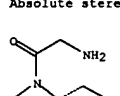
RN 376643-23-1 CAPLUS  
CN 2-Pyrrolidinemethanol, 1-((5S)-5-amino-1-oxopentyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



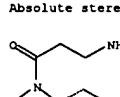
RN 376643-24-2 CAPLUS  
CN 2-Pyrrolidinemethanol, 1-((6S)-6-amino-1-oxohexyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



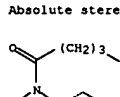
RN 376643-25-1 CAPLUS  
CN 2-Pyrrolidinemethanol, 1-((7S)-7-amino-1-oxoheptyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



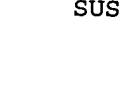
RN 376643-26-1 CAPLUS  
CN 2-Pyrrolidinemethanol, 1-((8S)-8-amino-1-oxooctyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 376643-27-1 CAPLUS  
CN 2-Pyrrolidinemethanol, 1-((9S)-9-amino-1-oxononyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

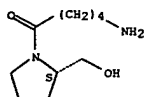


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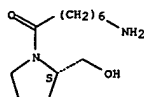
L10 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



RN 376643-24-2 CAPLUS  
 CN 2-Pyrrolidinemethanol, 1-(7-amino-1-oxoheptyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR  
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L10 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:539139 CAPLUS

DOCUMENT NUMBER: 133:277734

TITLE: The degradation of glycoproteins with lithium borohydride: isolation and analysis of

O-glycopeptides

AUTHOR(S): with reduced C-terminal amino acid residue  
 Arbatsky, N. P.; Likhoshervostov, L. M.; Serebryakova, M. V.; Brusov, O. S.; Shibaev, V. N.; Derevitskaya, V.

CORPORATE SOURCE: Zelinskii Institute of Organic Chemistry, Russian Academy of Sciences, Moscow, 117334, Russia  
 SOURCE: Russian Journal of Bioorganic Chemistry (Translation of Bioorganicheskaya Khimiya) (2000), 26(1), 45-53  
 CODEN: RJBCET; ISSN: 1068-1620  
 MAIK Nauka/Interperiodica

PUBLISHER: Journal

DOCUMENT TYPE: English

AB By the example of fetuin and a blood-group-specific mucin from porcine stomach, we showed that, under conditions of reductive degradation of glycoproteins with LiBH<sub>4</sub>-LiOH in 70% aqueous tert-Bu alc., the reduction

and

cleavage of amide bonds occur much faster than the simultaneous β-elimination of carbohydrate chains O-linked with Ser and Thr residues of the peptide chain. The major degradation products

containing the O-linked glycans are the O-glycosylated derivs. of 2-aminopropane-1,3-diol and 2-aminobutane-1,3-diol (the products of reduction of glycosylated Ser and

Thr) and the glycopeptides containing 2-4 amino acid residues with reduced

C-terminal amino acid. Seventeen homogeneous O-glycopeptides were isolated from the fetuin degradation products by ion-exchange and reversed-phase HPLC. Their structures were determined by MALDI-TOF mass spectrometry and by analyses for amino acids, amino alcs., and carbohydrates. The application of the reaction for characterization of O-glycans and localization of O-glycosylation sites in O- and N,O-glycopeptides is discussed.

IT 299197-67-4

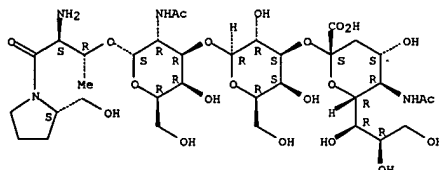
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(structure of fetuin degradation products obtained by reductive degradation with LiBH<sub>4</sub>-LiOH in aqueous tert-Bu alc.)

RN 299197-67-4 CAPLUS  
 CN 2-Pyrrolidinemethanol, 1-[(2S,3R)-3-[(O-(N-acetyl-α-neuraminosyl)-(2-3)-O-β-D-galactopyranosyl-(1-3)-2-(acetyl-amino)-2-deoxy-α-D-galactopyranosyl]oxy]-2-amino-1-oxobutyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR  
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L10 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:757024 CAPLUS

DOCUMENT NUMBER: 128:13442

TITLE: Preparation of alkene pseudopeptides as picornavirus

3C protease inhibitors

INVENTOR(S): Webber, Stephen E.; Dragovich, Peter S.; Prins, Thomas

J.; Reich, Siegfried H.; Little, Thomas L., Jr.; Littlefield, Ethel S.; Marakovits, Joseph T.; Babine, Robert E.; Bleckman, Ted M.

PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 222 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9743305	A1	19971120	WO 1997-US8112	19970513
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5856530	A	19990105	US 1997-850398	19970502
CA 2254343	AA	19971120	CA 1997-2254343	19970513
AU 9730059	A1	19971205	AU 1997-30059	19970513
AU 722704	B2	20000810		
ZA 9704108	A	19980820	ZA 1997-4108	19970513
EP 910572	A1	19990428	EP 1997-924707	19970513
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2000056903	T2	20000606	JP 1997-541076	19970513
TW 574226	B	20040201	TW 1997-86106355	19970513
KR 2000011019	A	20000225	KR 1998-709169	19981113
US 6214799	B1	20010410	US 1999-226205	19990107
US 6362166	B1	20020326	US 2000-689717	20001013
PRIORITY APPLN. INFO.:			US 1996-17666P	P 19960514
			US 1996-645687	A 19960514
			US 1997-850398	A 19970502
			WO 1997-US8112	W 19970513
			US 1999-226205	A3 19990107

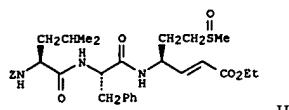
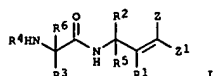
OTHER SOURCE(S): MARPAT 128:13442  
 GI



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L10 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



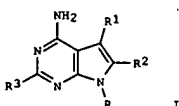
AB Picornaviral 3C protease inhibitors I (R1 = H, F, alkyl, OH, SH, O-alkyl, S-alkyl; R2, R5 = independently H, XY1A1(B1)D1, alkyl group different from XY1A1(B1)D1, with the proviso that both R2 and R5 = H and when R2 or R5 = XY1A1(B1)D1, X = CH or CF and Y1 = CH or CF; R3, R6 = independently H, F, alkyl; ZR4 = H, OH, suitable organic group; Z, Z1 = independently H, F, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, etc.; XY1 form 3-membered ring with Q1, Q1 = CR10R11, O, X = CH, CF, Y = CH, CF, C-alkyl; R10, R11 = independently H, halo, alkyl; CR10R11 = cycloalkyl, heterocycloalkyl; X = CH2, CF2, CHF, S; Y1 = O, S, NR12, CR12R14, CO, CS, C(CR13R14); R12 = H, alkyl; R13, R14 = independently H, F, alkyl; CR13R14 = cycloalkyl, heterocycloalkyl; A1 = C, CH, CF, S, P, Se, N, NR15, S(O), Se(O), P(O)R15, P(NR15)R16; R15, R16 = independently alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl; D1 = moiety containing electron lone pair capable of forming hydrogen bond; B1 = H, F, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, OR17, SR17, NR17R18, NR19NR17R18, NR17OR18; R17-R19 = H, any group R15; with provisos), and pharmaceutically acceptable salts thereof and prodrugs thereof, obtainable by chemical synthesis, inhibit or block the biol. activity of picornaviral 3C proteases. These compds., as well as pharmaceutical compns. that contain these compds., are suitable for treating patients or hosts infected with one or more picornaviruses. Several novel methods and intermediates can be used to prepare the novel picornaviral 3C protease inhibitors of the present invention. Thus, olefination of protected peptide aldehyde Z-L-Leu-L-Phe-L-Met(O)-H (Z = PhCH2O2C), prepared in 3 steps from L-methioninol and Z-L-Leu-L-Phe-OH, with (carbethoxymethylene)triphenylphosphorane gave 741 title compound II. II and related alkene pseudopeptides

L10 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN

ACCESSION NUMBER: 1997:640667 CAPLUS  
DOCUMENT NUMBER: 127:318974  
TITLE: Preparation of 7-heterocyclopipyrrolo[2,3-d]pyrimidines and analogs as protein tyrosine kinase pp60c-src inhibitors  
INVENTOR(S): Altmann, Eva  
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Altmann, Eva  
SOURCE: PCT Int. Appl., 66 pp.  
CODEN: P1XXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9734895	A1	19970925	WO 1997-EP1095	19970305
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UG, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2249739	AA	19970925	CA 1997-2249739	19970305
AU 9721534	A1	19971010	AU 1997-21534	19970305
AU 716383	B2	20000224		
EP 888353	A1	19990107	EP 1997-914189	19970305
EP 888353	B1	20030709		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,				
FI				
CN 1216544	A	19990512	CN 1997-193839	19970305
CN 1079796	B	20020227		
BR 9709443	A	19990810	BR 1997-9443	19970305
NZ 331804	A	20000428	NZ 1997-331804	19970305
JP 20000506537	T2	20000530	JP 1997-533081	19970305
AT 244719	E	20030715	AT 1997-914189	19970305
PT 888353	T	20031128	PT 1997-914189	19970305
ES 2203793	T3	20040416	ES 1997-914189	19970305
US 6051577	A	20000418	US 1998-142548	19980910
NO 9804199	A	19981105	NO 1998-4199	19980911
PRIORITY APPLN. INFO.:			CH 1996-694	A 19960315
			WO 1997-EP1095	W 19970305

OTHER SOURCE(S): MARPAT 127:318974  
GI

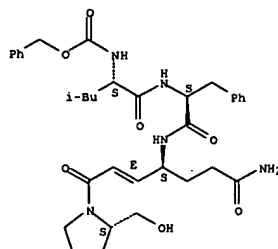


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L10 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

were tested for inhibition of rhinovirus protease, with II showing Ki = 4.3 μM.  
IT 199004-08-5P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of alkene pseudopeptides as picornavirus 3C protease inhibitors)  
RN 199004-08-5 CAPLUS  
CN L-Phenylalaninamide, N-[(phenylmethoxycarbonyl)-L-leucyl-N-[(1S,2E)-1-(3-amino-3-oxopropyl)-4-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-4-oxo-2-butenyl]- (9CI) (CA INDEX NAME)

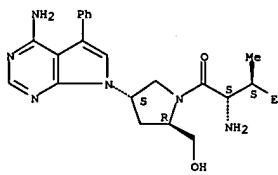
Absolute stereochemistry.  
Double bond geometry as shown.



L10 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

AB Title compds. (I: R = R5Z(CH2)0-4; R1 = aryl; R2, R3 = H, halo, alkyl; R5 = H, alkyl, alkanoyl, alkoxy, carbonyl, etc.; Z = (un)substituted pyrrolidine-1,2- or 1,3-diyl, -piperidine-1,2-, -1,3-, or -1,4-diyl) were prepared as protein tyrosine kinase pp60c-src inhibitors (no data).  
Thus, PhCOCH2NHAC was cyclocondensed with CH2(CN)2 and the product condensed with HC(OEt)3 and NH3 to give N-(3-cyano-4-phenyl-2-pyrrolyl)formamidine which was cyclized to give, after deprotection, I (R1 = Ph, R2 = R3 = H) (II: R = H) which was condensed with Me  
(2R,4R)-1-tert-butoxycarbonyl-4-tosyloxypyrrolidine-2-carboxylate to give, after deprotection, II (R = (2R,4S)-2-ethoxycarbonyl-4-pyrrolidinyl).  
IT 197525-26-1P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 7-heterocyclopipyrrolo[2,3-d]pyrimidines and analogs as protein tyrosine kinase pp60c-src inhibitors)  
RN 197525-26-1 CAPLUS  
2-Pyrrolidinemethanol, 1-(2-amino-3-methyl-1-oxopentyl)-4-(4-amino-5-phenyl-7H-pyrrolo[2,3-d]pyrimidin-7-yl)-, dihydrochloride, (2R-[1(2S\*,3S\*),2u,4R])-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

SUSANNAH

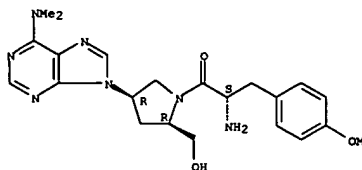
01/11/2004

10805624

L10 ANSWER 7 OF 16 CAPIUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1991:536560 CAPIUS  
 DOCUMENT NUMBER: 115:136560  
 TITLE: Synthesis and biological evaluation of 4-purinylypyrrolidine nucleosides  
 AUTHOR(S): Peterson, Mark L.; Vince, Robert  
 CORPORATE SOURCE: Coll. Pharm., Univ. Minnesota, Minneapolis, MN, 55455, USA  
 SOURCE: Journal of Medicinal Chemistry (1991), 34(9), 2787-97  
 CODEN: JMCMPA; ISSN: 0022-2623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI

L10 ANSWER 7 OF 16 CAPIUS COPYRIGHT 2004 ACS on STN (Continued)  
 (CA INDEX NAME)

Absolute stereochemistry.



\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The synthesis of several novel carbocyclic purine nucleosides which incorporate a nitrogen in place of carbon 3 of the cyclopentyl moiety are described. These analogs are derived from the key stereochem. defined intermediate N-(tert-butoxycarbonyl)-O-[(4-methoxyphenyl)diphenylmethyl]-trans-4-hydroxy-D-prolinol (I), which was accessible in 61.1% overall yield for a five-step sequence starting from cis-4-hydroxy-D-proline.

The heterocyclic bases, 6-chloropurine and 2-amino-6-chloropurine, are efficiently introduced onto the pyrrolidine ring via a Mitsunobu-type coupling procedure with Ph3P and di-tert azodicarboxylate. Standard transformations and removal of protecting groups gave the cis-adenine, hypoxanthine, 2,6-diaminopurine, and guanine D-prolinol derivs. II (X =

H, Y = NH2, OH; X = NH2, Y = NH2, OH). In addition, a related sequence from trans-4-hydroxy-L-proline provided the enantiomeric L-prolinol guanine derivative. The 6-(dimethylamino)purine analog, was coupled to N-(benzyloxycarbonyl)-p-methoxy-L-phenylalanine to provide, after deprotection, the novel puromycin-like analog III. The analogs II and

III were evaluated for antitumor and virucidal activity. These compds. failed to appreciably inhibit the growth of P388 mouse leukemia cells in vitro at

concns. up to 100 µg/mL. In addition, they did not exhibit noticeable activity against the HIV or herpes simplex virus type 1 at concns. as

high as 100 µM. The adenine analog, I (X = H, Y = NH2) proved to be a substrate for adenosine deaminase and possessed an affinity for the

enzyme only 50% less than that of adenosine with a Ki = 85 µM.

IT 135042-36-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation, antileukemic, and virucidal activity of)

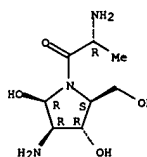
RN 135042-36-3 CAPIUS

CN 2-Pyrrolidinemethanol, 1-[2-amino-3-(4-methoxyphenyl)-1-oxopropyl]-4-[6-(dimethylamino)-9H-purin-9-yl]-, [2R-[1(S\*),2α,4α]]- (9CI)

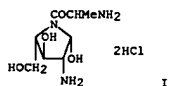
L10 ANSWER 8 OF 16 CAPIUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1978:152891 CAPIUS  
 DOCUMENT NUMBER: 88:152891  
 TITLE: Studies on heterosugars. Part II. Synthesis of 2,4-diamino-2,4-dideoxy-L-arabinose derivatives (prumycin derivatives)  
 AUTHOR(S): Hsegawa, Akira; Sakurai, Toru; Kiso, Makoto  
 CORPORATE SOURCE: Dep. Agric. Chem., Gifu Univ., Gifu, Japan  
 SOURCE: Agricultural and Biological Chemistry (1978), 42(1), 153-8  
 CODEN: ABCHA6; ISSN: 0002-1369  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI

L10 ANSWER 8 OF 16 CAPIUS COPYRIGHT 2004 ACS on STN (Continued)  
 dihydrochloride, [2R-[1(R\*),2α,3α,4β,5α]]- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl



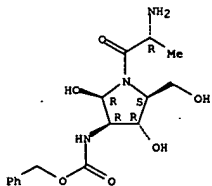
AB 2,4-Diamino-2,4-dideoxy-L-arabinose derivs. were prepared from benzyl 2-(benzyloxycarbonyl)amino-2-deoxy-β-D-glucopyranoside by a series of known reactions. Among the compds. prepared is furanoid prumycin I.

IT 66167-01-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and catalytic hydrogenolysis of)

RN 66167-01-9 CAPIUS

CN Carbamic acid, [1-(2-amino-1-oxopropyl)-2,4-dihydroxy-5-(hydroxymethyl)-3-pyrrolidinyl]-, phenylmethyl ester, [2R-[1(R\*),2α,3α,4β,5α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 66167-02-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

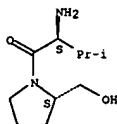
RN 66167-02-0 CAPIUS

CN 2,4-Pyrrolidinediol, 3-amino-1-(2-amino-1-oxopropyl)-5-(hydroxymethyl)-,

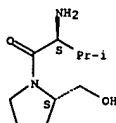
01/11/2004

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L10 ANSWER 9 OF 16 CAPIUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1975:459253 CAPIUS  
 DOCUMENT NUMBER: 83:59253  
 TITLE: Antibiotic actinonin. VII. Mass spectra of actinonin and related compounds  
 AUTHOR(S): Anderson, Nicholas H.; Devlin, John P.; Jones, Stephen; Ollis, W. David; Thorpe, John E.  
 CORPORATE SOURCE: Dep. Chem., Univ. Sheffield, Sheffield, UK  
 SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1975), (9), 852-7  
 CODEN: JCPRB4; ISSN: 0300-922X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI For diagram(s), see printed CA Issue.  
 AB The mass spectrum of actinonin (I) was interpreted by comparison with the fragmentation of the model compds. II-V. The structure of I, except for the position of the pentyl substituent, was determined from the mass spectrum.  
 IT 54124-60-6  
 RL: PRP (Properties) (mass spectrum of)  
 RN 54124-60-6 CAPIUS  
 CN 2-Pyrrolidinemethanol, 1-(2-amino-3-methyl-1-oxobutyl)-, [S-(R\*,R\*)]-(9CI) (CA INDEX NAME)  
 Absolute stereochemistry.

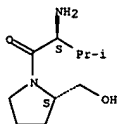


L10 ANSWER 10 OF 16 CAPIUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1975:459252 CAPIUS  
 DOCUMENT NUMBER: 83:59252  
 TITLE: Antibiotic actinonin. VI. Synthesis of structural analogs of actinonin by dicyclohexylcarbodiimide coupling reactions  
 AUTHOR(S): Devlin, John P.; Ollis, W. David; Thorpe, John E.; Wright, Derek E.  
 CORPORATE SOURCE: Dep. Chem., Univ. Sheffield, Sheffield, UK  
 SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1975), (9), 848-51  
 CODEN: JCPRB4; ISSN: 0300-922X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI For diagram(s), see printed CA Issue.  
 AB Coupling of amino amides with monoesters of dicarboxylic acids with dicyclohexylcarbodiimide in CH<sub>2</sub>Cl<sub>2</sub> gave dicarbonyl esters, which with MeOH-NH<sub>2</sub>OH gave the corresponding hydroxamic acids, analogs of actinonin. E.g., DL-valylmorpholine with HO<sub>2</sub>CCH(CH<sub>2</sub>)<sub>4</sub>Me)CO<sub>2</sub>Et gave the ester I, which gave the hydroxamic acid II.  
 IT 54124-60-6  
 RL: RCT (Reactant); RACT (Reactant or reagent) (coupling reaction with dicarboxylic acid monoesters)  
 RN 54124-60-6 CAPIUS  
 CN 2-Pyrrolidinemethanol, 1-(2-amino-3-methyl-1-oxobutyl)-, [S-(R\*,R\*)]-(9CI) (CA INDEX NAME)  
 Absolute stereochemistry.

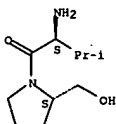


IT 54124-60-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reaction with methanolic hydroxylamine)  
 RN 54124-60-6 CAPIUS  
 CN 2-Pyrrolidinemethanol, 1-(2-amino-3-methyl-1-oxobutyl)-, [S-(R\*,R\*)]-(9CI) (CA INDEX NAME)  
 Absolute stereochemistry.

L10 ANSWER 10 OF 16 CAPIUS COPYRIGHT 2004 ACS on STN (Continued)



L10 ANSWER 11 OF 16 CAPIUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1975:459251 CAPIUS  
 DOCUMENT NUMBER: 83:59251  
 TITLE: Antibiotic actinonin. V. Synthesis of structural analogs of actinonin by the anhydride-ester method  
 AUTHOR(S): Devlin, John P.; Ollis, W. David; Thorpe, John E.  
 CORPORATE SOURCE: Dep. Chem., Univ. Sheffield, Sheffield, UK  
 SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1975), (9), 846-8  
 CODEN: JCPRB4; ISSN: 0300-922X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI For diagram(s), see printed CA Issue.  
 AB Succinic anhydride or its 4-pentyl derivative with amino amides gave dicarbonyl carboxylic acids, the Me esters of which with NH<sub>2</sub>OH gave structural analogs of actinonin. E.g., succinic anhydride with alanylpyrrolidine gave the acid I. The ester II with NH<sub>2</sub>OH gave 52% of the hydroxamic acid III.  
 IT 54124-60-6  
 RL: RCT (Reactant); RACT (Reactant or reagent) (coupling reaction with succinic anhydrides)  
 RN 54124-60-6 CAPIUS  
 CN 2-Pyrrolidinemethanol, 1-(2-amino-3-methyl-1-oxobutyl)-, [S-(R\*,R\*)]-(9CI) (CA INDEX NAME)  
 Absolute stereochemistry.

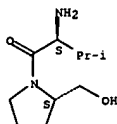


01/11/2004

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L10 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1975:459248 CAPLUS  
 DOCUMENT NUMBER: 83:59248  
 TITLE: Antibiotic actinonin. II. Total synthesis of actinonin and structural analogs by the isomaleimide method  
 AUTHOR(S): Anderson, Nicholas H.; Ollis, W. David; Thorpe, John E.; Ward, A. David  
 CORPORATE SOURCE: Dep. Chem., Univ. Sheffield, Sheffield, UK  
 SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1975), (9), 825-30  
 CODEN: JCPRB4; ISSN: 0300-922X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI For diagram(s), see printed CA Issue.  
 AB Valylprolinol with the isomaleimide I gave O-benzylididehydroactinonin (III) which on hydrogenation gave actinonin (III). Analogs IV-VI were prepared similarly from alanylpyrrolidine, valylpyrrolidine, and valylprolinol, resp.  
 IT 54124-60-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reaction with isomaleimide derivative)  
 RN 54124-60-6 CAPLUS  
 CN 2-Pyrrolidinemethanol, 1-(2-amino-3-methyl-1-oxobutyl)-, [S-(R\*,R\*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



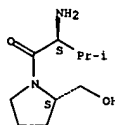
RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of

L10 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1975:459247 CAPLUS  
 DOCUMENT NUMBER: 83:59247  
 TITLE: Antibiotic actinonin. I. Constitution of actinonin. Natural hydroxamic acid with antibiotic activity  
 AUTHOR(S): Gordon, James J.; Devlin, John P.; East, Anthony J.; Ollis, W. David; Sutherland, Ian O.; Wright, Derek E.; Ninet, Leon  
 CORPORATE SOURCE: Antibiot. Res. Stat., Med. Res. Council, Clevedon, UK  
 SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1975), (9), 819-25  
 CODEN: JCPRB4; ISSN: 0300-922X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI For diagram(s), see printed CA Issue.  
 AB The structure of actinonin (I), isolated from Streptomyces roseopalidus, was determined by degradation to its constituent residues, L-prolinol, valine, D-pentylsuccinic acid, and hydroxylamine and from spectral data.  
 IT 56439-51-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 56439-51-1 CAPLUS  
 CN 2-Pyrrolidinemethanol, 1-(2-amino-3-methyl-1-oxobutyl)-, [S-(R\*,R\*)]-, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 54124-60-6  
 CMF C10 H20 N2 O2

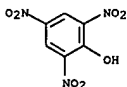
Absolute stereochemistry.



CM 2

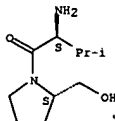
CRN 88-89-1  
 CMF C6 H3 N3 O7

L10 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L10 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1974:535864 CAPLUS  
 DOCUMENT NUMBER: 81:135864  
 TITLE: Total synthesis of the antibiotic, actinonin  
 AUTHOR(S): Anderson, Nicholas H.; Ollis, W. David; Thorpe, John E.; Ward, A. David  
 CORPORATE SOURCE: Dep. Chem., Univ. Sheffield, Sheffield, UK  
 SOURCE: Journal of the Chemical Society, Chemical Communications (1974), (11), 420-1  
 CODEN: JCCCAT; ISSN: 0022-4936  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI For diagram(s), see printed CA Issue.  
 AB A regioselective and stereoselective synthesis of actinonin (I) from condensation of pentylmaleic anhydride with PhCH2ONH2 was described.  
 IT 54124-60-6  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (addition reaction with isomaleimide)  
 RN 54124-60-6 CAPLUS  
 CN 2-Pyrrolidinemethanol, 1-(2-amino-3-methyl-1-oxobutyl)-, [S-(R\*,R\*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

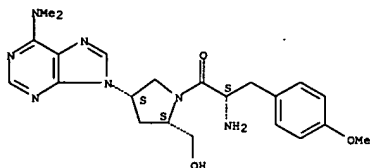


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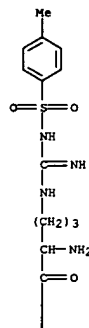
L10 ANSWER 15 OF 16 CAPIUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1974:108480 CAPIUS  
 DOCUMENT NUMBER: 80:108480  
 TITLE: Unconventional nucleotide analogs. XI. Synthesis of a nonsaccharidal analog of puromycin  
 AUTHOR(S): Kaspersen, Frans M.; Bieraugel, Hans; Pandit, Upendra K.  
 CORPORATE SOURCE: Org. Chem. Lab., Univ. Amsterdam, Amsterdam, Neth.  
 SOURCE: Heterocycles (1974), 2(1), 15-19  
 CODEN: HETCYAM; ISSN: 0385-5414  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI For diagram(s), see printed CA Issue.  
 AB The title puromycin analog (I), of interest because of analogy to nucleoside-peptide models, is prepared. Thus, (-)-4-hydroxy-L-proline was converted to II which on treatment with 5-amino-4,6-dichloropyrimidine followed by ring closure [(EtO)3CH] gave III (R = Cl, R1 = tosyl). Reaction of this with Me2NH and detosylation gave III (R = NMe2, R1 = H). Coupling of this with Cbz N-protected 4-MeOC6H4CH2CH(NH2)-CO2H gave, after removal of the Cbz group, I.  
 IT 51950-02-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)  
 RN 51950-02-8 CAPIUS  
 CN 2-Pyrrolidinemethanol, 1-[2-amino-3-(4-methoxyphenyl)-1-oxopropyl]-4-[6-(dimethylamino)-9H-purin-9-yl]-, {2S-[1(R\*),2a,4a]}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 16 OF 16 CAPIUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1966:482599 CAPIUS  
 DOCUMENT NUMBER: 65:82599  
 ORIGINAL REFERENCE NO.: 65:15497c-d  
 TITLE: Partial acid hydrolysis of  $\gamma$ -keratose  
 AUTHOR(S): Asquith, R. S.; Shaw, T.  
 CORPORATE SOURCE: Bradford Inst. Tech., Bradford, UK  
 SOURCE: J. Textile Inst. Trans. (1966), 57(6), 242-53  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB  $\gamma$ -Keratose was hydrolyzed 192 hrs. in 5N HCl at 37° to obtain a hydrolyzate in which, based on amino N determination, the average peptide chain length was 2 amino acid residues. The partial hydrolyzate was fractionated by ion exchange chromatography, two dimensional paper chromatography, and/or high voltage paper electrophoresis. Fifteen di- and tripeptides were identified and other peptides containing up to 5 amino acid residues also were found. Cysteylcysteic acid was shown to be present.  
 IT 7754-78-1, p-Toluenesulfonamide, N-[[4-amino-4-[[2-(hydroxymethyl)-1-pyrrolidinyl]carbonyl]butyl]amidino]- (preparation of)  
 RN 7754-78-1 CAPIUS  
 CN Pyrrolidine, 2-(hydroxymethyl)-1-[N5-[(p-tolylsulfonyl)amidino]-L-ornithyl]-, L- (8CI) (CA INDEX NAME)

PAGE 1-A



L10 ANSWER 16 OF 16 CAPIUS COPYRIGHT 2004 ACS on STN (Continued)

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